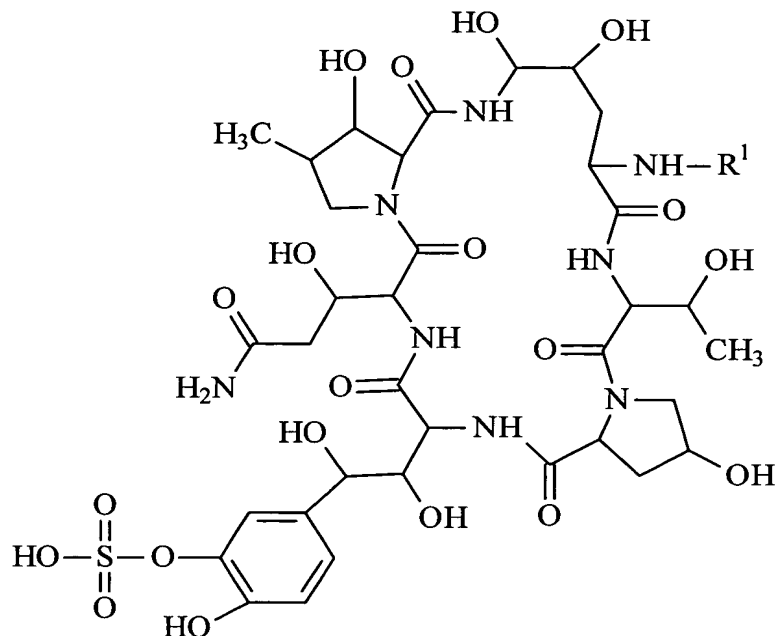


4T, 2590x



wherein R<sup>1</sup> is lower alkanoyl substituted with unsaturated 6-membered heteromonocyclic group containing at least one nitrogen atom which may have one or more suitable substituent(s); [lower alkanoyl substituted with 1,2,3,4-tetrahydroisoquinoline which may have one or more suitable substituent(s);]

lower alkanoyl substituted with unsaturated condensed heterocyclic group containing at least one oxygen atom which may have one or more suitable substituent(s);

lower alkanoyl substituted with unsaturated condensed heterocyclic group containing 1 to 3 sulfur atom(s) which may have one or more suitable substituent(s);

[lower alkanoyl substituted with unsaturated condensed heterocyclic group containing 2 or more nitrogen atom(s) which may have one or more suitable substituent(s);]

lower alkanoyl substituted with saturated 3 to 8 membered heteromonocyclic group containing at least one nitrogen atom which may have one or more suitable substituent(s);

ar(lower)alkenoyl substituted with aryl which may have one or more suitable substituent(s);

naphthyl(lower)alkenoyl which may have one or more higher alkoxy;

lower alkynoyl which may have one or more suitable substituent(s);

(C<sub>2</sub>-C<sub>6</sub>)alkanoyl substituted with naphthyl having higher alkoxy;

ar(C<sub>2</sub>-C<sub>6</sub>)alkanoyl substituted with aryl having one or more suitable substituent(s), in which, ar(C<sub>2</sub>-C<sub>6</sub>)alkanoyl may have one or more suitable substituent(s);

aroyl substituted with heterocyclic group which may have one or more suitable substituent(s), in which aroyl may have one or more suitable substituent(s);

[aroyl substituted with aryl having heterocyclic(higher)alkoxy, in which heterocyclic group may have one or more suitable substituent(s);]

aroyl substituted with aryl having lower alkoxy(higher)alkoxy;

[aroyl substituted with aryl having lower alkenyl(lower)alkoxy;

aroyl substituted with 2 lower alkoxy;]

aroyl substituted with aryl having lower alkyl;

aroyl substituted with aryl having higher alkyl;

[aryloxy(lower)alkanoyl which may have one or more suitable substituent(s);]

ar(lower)alkoxy(lower)alkanoyl which may have one or more suitable substituent(s);

arylamino(lower)alkanoyl which may have one or more suitable substituent(s);]

lower alkanoyl substituted with pyrazolyl which has lower alkyl and aryl having higher alkoxy;

lower alkoxy(higher)alkanoyl, in which higher alkanoyl may have one or more suitable substituent(s);

[aroyl substituted with aryl having heterocyclicoxy, in which heterocyclicoxy may have one or more suitable substituent(s);]

aroyl substituted with cyclo(lower)alkyl having lower alkyl;  
indolylcarbonyl having higher alkyl;

naphthoyl having lower alkyl;

naphthoyl having higher alkyl;

naphthoyl having lower alkoxy(higher)alkoxy;]

aroyl substituted with aryl having lower alkoxy(lower)alkoxy(higher)alkoxy;

aroyl substituted with aryl having lower alkoxy(lower)alkoxy;

[aroyl substituted with aryl which has aryl having lower alkoxy;]

aroyl substituted with aryl which has aryl having lower alkoxy(lower)alkoxy;

aroyl substituted with aryl having heterocyclicoxy(higher)alkoxy;

aroyl substituted with aryl having aryloxy(lower)alkoxy;

[aroyl substituted with aryl having heterocycliccarbonyl(higher)alkoxy;]

lower alkanoyl substituted with oxazolyl which has aryl having higher alkoxy;

[lower alkanoyl substituted with furyl which has aryl substituted with aryl having

*a!*  
*cont* lower alkoxy;

lower alkanoyl subs, toted with triazolyl which has oxo and aryl having higher alkyl;]

higher alkanoyl having hydroxy;

higher alkanoyl having ar(lower)alkyl and hydroxy; or

3-methyl-tridecenoyl; [or]

[(C<sub>2</sub>-C<sub>6</sub>)alkanoyl substituted with aryl having higher alkoxy, in which (C<sub>2</sub>-C<sub>6</sub>)alkanoyl may have amino or protected amino,] and

a pharmaceutically acceptable salt thereof.

2. (Amended) A compound of claim 1, wherein

R<sup>1</sup> is lower alkanoyl substituted with unsaturated 6-membered heteromonocyclic group containing at least one nitrogen atom which may have 1 to 3 substituent(s) selected from the group consisting of lower alkoxy, higher alkoxy, lower alkyl, higher alkyl, higher alkoxy(lower)alkyl, phenyl having lower alkoxy, phenyl having higher alkoxy, naphthyl having lower alkoxy, naphthyl having higher alkoxy, phenyl having lower alkyl, phenyl having higher alkyl, naphthoyl having higher alkoxy, phenyl substituted with phenyl having lower alkyl, 3 to 8-membered saturated heteromonocyclic group containing at least one nitrogen atom which may have phenyl having higher alkoxy, phenyl substituted with phenyl having lower alkoxy, 3 to 8-membered saturated heteromonocyclic group containing at least one nitrogen atom which may have phenyl having lower alkoxy(higher)alkoxy, 3 to 8-membered saturated heteromonocyclic group containing at least one nitrogen atom which may have phenyl having lower alkoxy, and oxo;

[lower alkanoyl substituted with 1,2,3,4-tetrahydroisoquinoline having higher alkoxy and lower alkoxy carbonyl;]

*al*  
*cont* lower alkanoyl substituted with unsaturated condensed heterocyclic group containing at least one oxygen atom which may have 1 to 3 substituent(s) selected from the group consisting of lower alkoxy, higher alkoxy, lower alkyl, higher alkyl, higher alkoxy(lower)alkyl, phenyl having lower alkoxy, phenyl having higher alkoxy, naphthyl having lower alkoxy, naphthyl having higher alkoxy, phenol having; lower alkyl, phenyl having higher alkyl, naphthoyl having higher alkoxy, phenyl substituted with phenyl having

lower alkyl, unsaturated 6-membered heteromonocyclic group containing at least one nitrogen atom which may have higher alkoxy, and oxo;

lower alkanoyl substituted with unsaturated condensed heterocyclic group containing 1 to 3 sulfur atom(s) which may have 1 to 3 substituent(s) selected from the group consisting of lower alkoxy, higher alkoxy, lower alkyl, higher alkyl, higher alkoxy(lower)alkyl, phenyl having lower alkoxy, phenyl having higher alkoxy, naphthyl having lower alkoxy, naphthyl having higher alkoxy, phenyl having lower alkyl, phenyl having higher alkyl, naphthoyl having higher alkoxy, phenyl substituted with phenyl having lower alkyl, and oxo;

lower alkanoyl substituted with unsaturated condensed heterocyclic group containing 2 or more nitrogen atoms which may have 1 to 3 substituent(s) selected from the group containing of lower alkoxy, higher alkoxy, lower alkyl, higher alkyl, higher alkoxy(lower)alkyl, phenyl having lower alkoxy, phenyl having higher alkoxy, naphthyl having lower alkoxy, naphthyl having higher alkoxy, phenyl having lower alkyl, phenyl having higher alkyl, naphthoyl having higher alkoxy, phenyl substituted with phenyl having lower alkyl, and oxo; or

*a1 cont*  
lower alkanoyl substituted with saturated 3 to 8-membered heteromonocyclic group containing at least one nitrogen atom which may have 1 to 3 substituent(s) selected from the group consisting of lower alkoxy, higher alkoxy, lower alkyl, higher alkyl, higher alkoxy(lower)alkyl, phenyl having lower alkoxy, phenyl having higher alkoxy, naphthyl having lower alkoxy, naphthyl having higher alkoxy, phenyl having lower alkyl, phenyl having higher alkyl, naphthoyl having higher alkoxy, phenyl substituted with phenyl having lower alkyl, and oxo.

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*a2*  
4. (Amended) A compound of claim 1, wherein

R<sup>1</sup> is aroyl substituted with heterocyclic group which may have 1 to 3 substituent(s) selected from the group consisting of lower alkoxy, higher alkoxy, lower alkyl, higher alkyl, higher alkoxy(lower)alkyl, phenyl having lower alkoxy, phenyl having higher alkoxy, naphthyl having lower alkoxy, naphthyl having higher alkoxy, phenyl having lower alkyl, phenyl having higher alkyl, naphthoyl having higher alkoxy, phenyl substituted with phenyl having lower alkyl, phenyl having lower alkoxy(higher)alkoxy, phenyl having higher alkenyloxy, heterocyclic group substituted with phenyl having lower alkoxy, heterocyclic group, cyclo(lower)alkyl having phenyl, phenyl having cyclo(lower)alkyl, phenyl substituted with heterocyclic group having lower alkyl and oxo, cyclo(lower)alkyl having lower alkyl, phenyl substituted with phenyl having lower alkoxy, phenyl having heterocyclic group and oxo, in which aroyl may have halogen;

[aroyl substituted with aryl having heterocyclic(higher)alkoxy, in which heterocyclic group may have lower alkyl;]

aroyl substituted with aryl having lower alkoxy(higher)alkoxy;

[aroyl substituted with aryl having lower alkenyl(lower)alkoxy;

aroyl substituted with 2 lower alkoxy;]

aroyl substituted with aryl having lower alkyl; or

aroyl substituted with aryl having higher alkyl.

5. (Amended) A compound of claim 1, wherein

R<sup>1</sup> [is aryloxy(lower)alkanoyl which may have 1 to 3 substituent(s) selected from the group consisting

of lower alkoxy, higher alkoxy, lower alkyl, higher alkyl, higher alkoxy(lower)alkyl, phenyl having lower alkoxy, phenyl having higher alkoxy, naphthyl having lower alkoxy, naphthyl

having higher alkoxy, phenyl having lower alkyl, phenyl having higher alkyl, naphthoyl having higher alkoxy, phenyl substituted with phenyl having lower alkyl, and oxo;]

ar(lower)alkoxy(lower)alkanoyl which may have 1 to 3 substituent(s) selected from the group consisting of lower alkoxy, higher alkoxy, lower alkyl, higher alkyl, higher alkoxy(lower)alkyl, phenyl having lower alkoxy, phenyl having higher alkoxy, naphthyl having lower alkoxy, naphthyl having higher alkoxy, phenyl having lower alkyl, phenyl having higher alkyl, phenyl having higher alkoxy, phenyl substituted with phenyl having lower alkyl, and oxo; or

[arylamino(lower)alkanoyl which may have 1 to 3 substituent(s) selected from the group consisting of lower alkoxy, higher alkoxy, lower alkyl, higher alkyl, higher alkoxy(lower)alkyl, phenyl having lower alkoxy, phenyl having higher alkoxy, naphthyl having lower alkoxy, naphthyl having higher alkoxy, phenyl having lower alkyl, phenyl having higher alkyl, naphthoyl having higher alkoxy, phenyl substituted with phenyl having lower alkyl, and oxo].

6. (Amended) A compound of claim 1, wherein

R<sup>1</sup> is lower alkanoyl substituted with pyrazolyl which has lower alkyl and aryl having higher alkoxy;

lower alkoxy(higher)alkanoyl, in which higher alkanoyl may have amino or protected amino;

[aroyl substituted with aryl having heterocycloxy, in which heterocycloxy may have phenyl;]

aroyl substituted with cyclo(lower)alkyl having lower alkyl;

indolylcarbonyl having higher alkyl;

naphthoyl having lower alkyl;

naphthoyl having higher alkyl;

[naphthoyl having lower alkoxy(higher)alkoxy;]

aroyl substituted with aryl having lower alkoxy(lower)alkoxy(higher)alkoxy;

aroyl substituted with aryl having lower alkoxy(lower)alkoxy;

[aroyl substituted with aryl which has phenyl having lower alkoxy;]

aroyl substituted with aryl which has phenyl having lower alkoxy(lower)alkoxy;

aroyl substituted with aryl having heterocycloxy(higher)alkoxy;

aroyl substituted with aryl having phenoxy(lower)alkoxy;

[aroyl substituted with aryl having heterocycliccarbonyl(higher)alkoxy;]

lower alkanoyl substituted with oxazolyl which has aryl having higher alkoxy;

[lower alkanoyl substituted with furyl which has aryl substituted with phenyl having lower alkoxy;

lower alkanoyl substituted with triazolyl which has oxo and phenyl having higher alkyl;]

higher alkanoyl having hydroxy;

higher alkenoyl having benzyl and hydroxy; or

3-methyl-tridecenoyl.

[(C<sub>2</sub>-C<sub>6</sub>)alkanoyl substituted with aryl having higher alkoxy, in which (C<sub>2</sub>-C<sub>6</sub>)alkanoyl may have amino or protected amino]

7. (Amended) A compound of claim 2, wherein

R<sup>1</sup> is lower alkanoyl substituted with pyridyl or pyridazinyl, each of which may have 1 to 3 substituent(s) selected from the group consisting of higher alkoxy, higher



alkoxy(lower)alkyl, phenyl having higher alkoxy, phenyl substituted with phenyl having lower alkoxy, piperazinyl substituted with phenyl having higher alkoxy, piperazinyl substituted with phenyl having lower alkoxy(higher)alkoxy, and piperazinyl substituted with phenyl having lower alkoxy;

[lower alkanoyl substituted with 1,2,3,4-tetrahydroisoquinoline having higher alkoxy and lower alkoxy carbonyl;]

lower alkanoyl substituted with coumarin which may have 1 to 3 substituent(s) selected from the group consisting of higher alkoxy, and oxo;

lower alkanoyl substituted with benzothiophenyl which may have 1 to 3 higher alkoxy;

lower alkanoyl substituted with benzo[b]furan which may have 1 to 3 substituent(s) selected from the group consisting of higher alkoxy and lower alkyl;

lower alkanoyl substituted with benzooxazolyl which may have 1 to 3 substituent(s) selected from the group consisting of higher alkyl, phenyl having lower alkoxy, phenyl substituted with phenyl having lower alkyl, and pyridyl having higher alkoxy;

lower alkanoyl substituted with benzimidazolyl which may have 1 to 3 substituent(s) selected from the group consisting of higher alkyl, and phenyl having lower alkoxy; or

lower alkanoyl substituted with piperidyl or piperazinyl, each of which may have 1 to 3 substituent(s) selected from the group consisting of phenyl having higher alkoxy, and naphthoyl having higher alkoxy.

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9. (Amended) A compound c claim 4, wherein

R<sup>1</sup> is benzoyl substituted with saturated 6-membered heteromonocyclic group containing at least one nitrogen atom which may have 1 to 3 substituent(s) selected from the

group consisting of phenyl having lower alkoxy, phenyl having higher alkoxy, phenyl having lower alkyl, phenyl having lower alkoxy(higher)alkoxy, phenyl having higher alkenyloxy, piperidyl substituted with phenyl having lower alkoxy, piperidyl, cyclo(lower)alkyl having phenyl, phenyl having cyclo(lower)alkyl, and phenyl substituted with triazolyl having oxo and lower alkyl,

in which benzoyl may have halogen;

benzoyl substituted with unsaturated 5-membered heteromonocyclic group containing 1 to 2 oxygen atom(s) and 1 to 3 nitrogen atom(s) which may have 1 to 3 substituent(s) selected from the group consisting of higher alkyl, phenyl having lower alkoxy, phenyl having higher alkoxy, phenyl having lower alkoxy(higher)alkoxy, and phenyl substituted with phenyl having lower alkoxy;

benzoyl substituted with 5 or 6-membered heteromonocyclic group containing 1 or 2 nitrogen atom(s) which may have 1 to 3 substituent(s) selected from the group consisting of higher alkyl and phenol having lower alkoxy;

a3  
cont  
benzoyl substituted with 5-membered heteromonocyclic group containing 1 to 2 nitrogen atom(s) and 1 to 2 sulfur atom(s) which may have 1 to 3 substituent(s) selected from the group consisting of phenyl having lower alkoxy, phenyl having higher alkoxy, cyclo(lower)alkyl having lower alkyl, phenyl substituted with phenyl having lower alkoxy, phenyl having cyclo(lower)alkyl, phenyl having piperidine, and phenyl having lower alkoxy(higher)alkoxy;

[benzoyl substituted with phenyl having higher alkoxy substituted with unsaturated 3 to 8-membered heteromonocyclic group containing at least one nitrogen atom;

benzoyl substituted with phenyl having higher alkoxy substituted with saturated 6-membered heteromonocyclic group containing 1 to 2 oxygen atom(s) and 1 to 3 nitrogen atom(s) which may have lower alkyl;]

benzoyl substituted with phenyl having lower alkoxy(higher)alkoxy;

[benzoyl substituted with phenyl having lower alkenyl(lower)alkoxy;

benzoyl substituted with 2 lower alkoxy;]

benzoyl substituted with phenol having lower alkyl; or

benzoyl substituted with phenyl having higher alkyl.

10. (Amended) A compound of claim 5, wherein

R<sup>1</sup> is [phenyloxy(lower)alkanoyl which may have 1 to 3 higher alkoxy;]

phenyl(lower)alkoxy(lower)alkanoyl which may have 1 to 3 higher alkoxy[; or]

[phenylamino(lower)alkanoyl which may have 1 to 3 higher alkoxy].--

Claim 11, lines 15-16, delete "phenyl having lower alkoxy".

13. (Amended) A compound of claim 11, wherein,

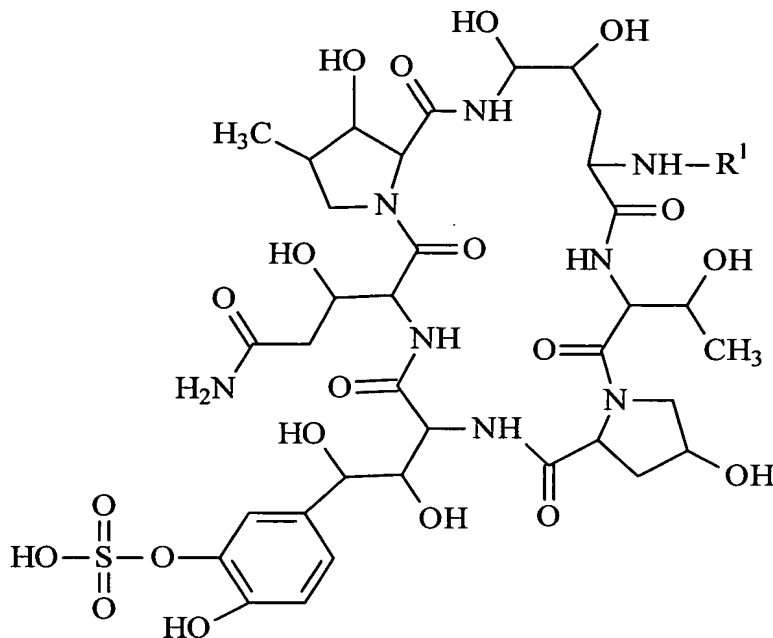
R<sup>1</sup> is benzoyl substituted with piperazinyl which may have phenyl having lower alkoxy;

[benzoyl substituted with isoxazolyl which may have phenyl having lower alkoxy;]

benzoyl substituted with thiadiazolyl which may have phenyl having lower alkoxy(higher)alkoxy; or

benzoyl substituted with oxadiazolyl which may have phenyl having lower alkoxy.

15. (Amended) A process for the preparation of a polypeptide compound of the formula [I]:



wherein

R<sup>1</sup> is lower alkanoyl substituted with unsaturated 6-membered heteromonocyclic group containing at least one nitrogen atom which may have one or more suitable substituent(s);

[lower alkanoyl substituted with 1,2,3,4-tetrahydro-isoquinoline having higher alkoxy;]

lower alkanoyl substituted with unsaturated condensed heterocyclic group containing at least one oxygen atom which may have one or more suitable substituent(s);

lower alkanoyl substituted with unsaturated condensed heterocyclic group containing 1 to 3 sulfur atom(s) which may have one or more suitable substituent(s);

[lower alkanoyl substituted with unsaturated condensed heterocyclic group containing 2 or more nitrogen atom(s) which may have one or more suitable substituent(s);]

lower alkanoyl substituted with saturated 3 to 8-membered heteromonocyclic group containing at least one nitrogen atom which may have one or more suitable substituent(s);

ar(lower)alkenoyl substituted with aryl which may have one or more suitable substituent(s);

naphthyl(lower)alkenoyl which may have one or more higher alkoxy;

lower alkynoyl which may have one or more suitable substituent(s);

(C<sub>2</sub>-C<sub>6</sub>)alkanoyl substituted with naphthyl having higher alkoxy;

ar(C<sub>2</sub>-C<sub>6</sub>)alkanoyl substituted with aryl having one or more suitable substituent(s), in which ar(C<sub>2</sub>-C<sub>6</sub>)alkanoyl may have one or more suitable substituent(s);

aroyl substituted with heterocyclic group which may have one or more suitable substituent(s), in which aroyl may have one or more suitable substituent(s);

[aroyl substituted with aryl having heterocyclic(higher)alkoxy, in which heterocyclic group may have one or more suitable substituent(s);]

aroyl substituted with aryl having lower alkoxy(higher)alkoxy;

[aroyl substituted with aryl having lower alkenyl(lower)alkoxy;]

aroyl substituted with 2 lower alkoxy;]

aroyl substituted with aryl having lower vinyl;

aroyl substituted with aryl having higher alkyl;

[aryloxy(lower)alkanoyl which may have one or more suitable substituent(s);]

ar(lower)alkoxy(lower)alkanoyl which may have one or more suitable substituent(s);

[arylamino(lower)alkanoyl which may have one or more suitable substituent(s);]

lower alkanoyl substituted with pyrazolyl which has lower alkyl and aryl having higher alkoxy;

lower alkoxy(higher)alkanoyl, in which higher alkanoyl may have one or more suitable substituent(s);

[aroyl substituted with aryl having heterocyclicoxy, in which heterocyclicoxy may have one or more suitable substituent(s);]

aroyl substituted with cyclo(lower)alkyl having lower alkyl;

indolylcarbonyl having higher alkyl;

naphthoyl having lower alkyl;

naphthoyl having higher alkyl;

[naphthoyl having lower alkoxy(higher)alkoxy;]

aroyl substituted with aryl having lower alkoxy(lower)alkoxy(higher)alkoxy;

aroyl substituted with aryl having lower alkoxy(lower)alkoxy;

[aroyl substituted with aryl which has aryl having lower alkoxy;]

aroyl substituted with aryl which has aryl having lower alkoxy(lower)alkoxy;

aroyl substituted with aryl having heterocyclicoxy(higher)alkoxy;

aroyl substituted with aryl having aryloxy(lower)alkoxy;

[aroyl substituted with aryl having heterocycliccarbonyl(higher)alkoxy;]

lower alkanoyl substituted with oxazolyl which has aryl having higher alkoxy;

[lower alkanoyl substituted with furyl which has aryl substituted with aryl having

lower alkoxy;

lower alkanoyl substituted with triazolyl which has oxo and aryl having higher alkyl;]

higher alkanoyl having hydroxy;

higher alkanoyl having ar(lower)alkyl and hydroxy; or

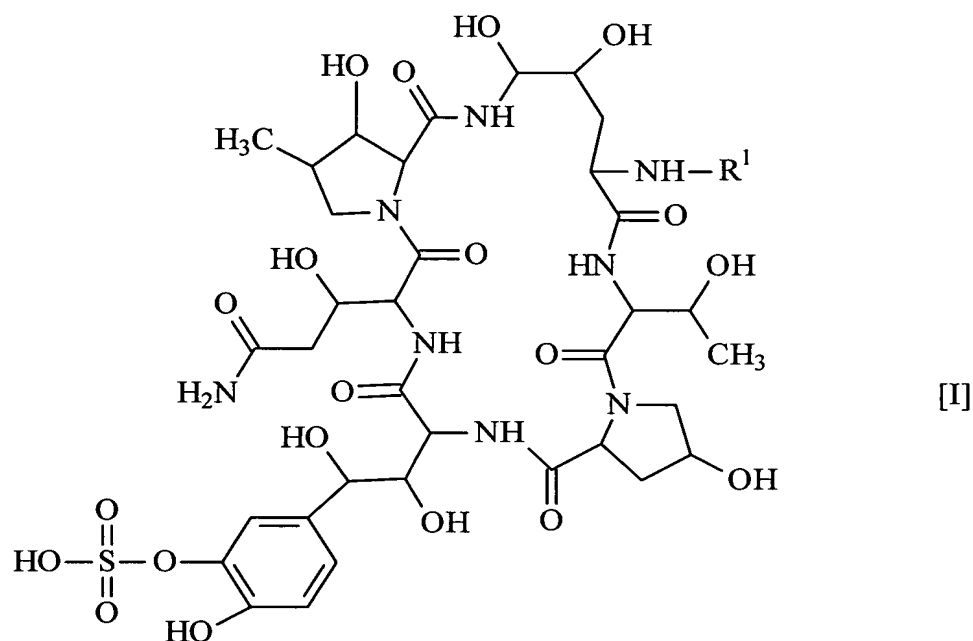
3-methyl-tridecenoyl; [or]

[(C<sub>2</sub>-C<sub>6</sub>)alkanoyl substituted with aryl having higher alkoxy, in which (C<sub>2</sub>-C<sub>6</sub>)alkanoyl may have amino or protected amino,] and

a pharmaceutically acceptable salt thereof,

which comprises

1) reacting a compound of the formula :



or its reactive derivative at the amino group or a salt thereof, with a compound of the formula:



wherein R<sup>1</sup> is defined above,

or its reactive derivative at the carboxy group or a salt thereof, to give a compound [I] of the formula: